SPL7013

Brand Name: VivaGel
Drug Class: Microbicides

Drug Description

SPL7013 is a polylysine dendrimer with broad-spectrum antiviral activity. It is the active ingredient of VivaGel, a water-based vaginal microbicide gel. [1]

HIV/AIDS-Related Uses

SPL7013 is a potent inhibitor of HIV-1 in vitro and appears active against numerous strains. It is being studied for use as the active ingredient in VivaGel in the prevention of vaginal transmission of HIV. VivaGel was granted fast-track status by the FDA in January 2006.[2]

Non-HIV/AIDS-Related Uses

SPL7013 has demonstrated in vitro activity against chlamydia, herpes simplex virus-1 and -2, hepatitis B virus, and human papillomavirus.[3]

Pharmacology

Dendrimers such as SPL7013 are polymers that contain a central core, interior branches, and terminal surface groups adapted to specific targets. SPL7013 has a polyanionic outer surface that provides for multiple target interactions. The active surface groups bind to gp120 proteins on HIV's surface, preventing CD4 receptor binding by healthy cells and thus blocking transmission of HIV to healthy cells.[4] [5]

A Phase I, double-blind, placebo-controlled trial evaluated the plasma absorption of SPL7013 in 36 healthy, sexually abstinent women. Women were assigned to one of three arms of 0.5, 1.0, and 3.0% gel, respectively, and all doses were administered once daily for 7 days. Eight women received active gel and four received placebo in each arm. Plasma samples after the first, third, fifth, and seventh doses showed no plasma SPL7013 levels, confirming the localized activity of the drug.[6]

Adverse Events/Toxicity

A Phase I placebo-controlled trial in healthy women evaluated the safety and tolerability of VivaGel. No serious or significant adverse events occurred with the 0.5, 1.0, or 3.0% doses. Mild adverse effects attributed to SPL7013 were abdominal pain and painful urination. Vaginal flora levels decreased similarly in all treatment and placebo groups but rebounded to normal levels by 7 days post-treatment. [7]

Clinical Trials

For information on clinical trials that involve SPL7013, visit the ClinicalTrials.gov web site at http://www.clinicaltrials.gov. In the Search box, enter: SPL7013 AND HIV Infections.

Dosing Information

Mode of Delivery: Topical.[8]

Dosage Form: Phase I studies have evaluated VivaGel at concentrations of 0.5, 1, and 3% applied vaginally once daily for 7 days. In addition, a 5.0% vaginal gel has been evaluated in macaques.[9] [10]

Chemistry

CAS Name: L-Lysine, homopolymer [polylysine][11]

CAS Number: 25104-18-1 [polylysine][12]

Molecular weight: 16,582 Da[13]

Physical Description: SPL7013 is a white to off-white solid; VivaGel is a water-based gel.[14]

Stability: SPL7013 is stable as a solid in a variety of pharmaceutical preparations.[15]

Solubility: Both SPL7013 and VivaGel are highly water soluble.[16]

Other Names

SPL 7013[17]

SPL7013 gel[18]

SPL-7013[19]

Further Reading

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McCarthy TD, Karellas P, Henderson SA, Giannis M, O'Keefe DF, Heery G, Paull JR, Matthews BR, Holan G. Dendrimers as drugs: discovery and preclinical and clinical development of dendrimer-based microbicides for HIV and STI prevention. Mol Pharm. 2005 Jul-Aug;2(4):312-8. Review. PMID: 16053334

Rosa Borges A, Schengrund CL. Dendrimers and antivirals: a review. Curr Drug Targets Infect Disord. 2005 Sep;5(3):247-54. Review. PMID: 16181143

Manufacturer Information

SPL7013
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61-3-8532-2700

For More Information

Contact your doctor or an AIDSinfo Health Information Specialist:

• Via Phone: 1-800-448-0440 Monday - Friday, 12:00 p.m. (Noon) - 5:00 p.m. ET

• Via Live Help: http://aidsinfo.nih.gov/live_help Monday - Friday, 12:00 p.m. (Noon) - 4:00 p.m. ET

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